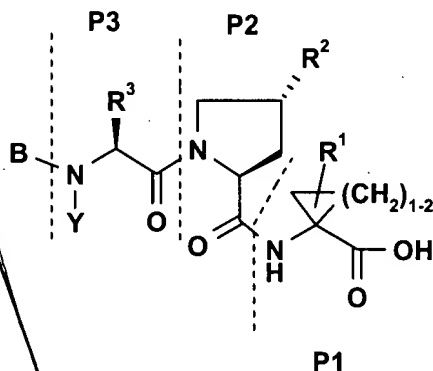


CLEAN SET OF CLAIMS INCORPORATING AMENDMENTS

1. (twice amended) ~~The racemates, diastereoisomers or optical isomers~~ of a compound of formula



(I)

wherein **B** is H, a C₆ or C₁₀ aryl, C₇₋₁₆ aralkyl; Het or (lower alkyl)-Het, all of which optionally substituted with C₁₋₆ alkyl; C₁₋₆ alkoxy; C₁₋₆ alkanoyl; hydroxy; hydroxyalkyl; halo; haloalkyl; nitro; cyano; cyanoalkyl; amino optionally substituted with C₁₋₆ alkyl; amido; or (lower alkyl)amide; or **B** is an acyl derivative of formula **R₄-C(O)-**; a carboxyl derivative of formula **R₄-O-C(O)-**; an amide derivative of formula **R₄-N(R₅)-C(O)-**; a thioamide derivative of formula **R₄-N(R₅)-C(S)-**; or a sulfonyl derivative of formula **R₄-SO₂** wherein

- R₄** is (i) C₁₋₁₀ alkyl optionally substituted with carboxyl, C₁₋₆ alkanoyl, hydroxy, C₁₋₆ alkoxy, amino optionally mono- or di-substituted with C₁₋₆ alkyl, amido, or (lower alkyl) amide;
 - (ii) C₃₋₇ cycloalkyl, C₃₋₇ cycloalkoxy, or C₄₋₁₀ alkylcycloalkyl, all optionally substituted with hydroxy, carboxyl, (C₁₋₆ alkoxy)carbonyl, amino optionally mono- or di-substituted with C₁₋₆ alkyl, amido, or (lower alkyl) amide;
 - (iii) amino optionally mono- or di-substituted with C₁₋₆ alkyl; amido; or (lower alkyl)amide;
 - (iv) C₆ or C₁₀ aryl or C₇₋₁₆ aralkyl, all optionally substituted with C₁₋₆ alkyl, hydroxy, amido, (lower alkyl)amide, or amino optionally mono- or di-substituted with C₁₋₆ alkyl; or
 - (v) Het or (lower alkyl)-Het, both optionally substituted with C₁₋₆ alkyl, hydroxy, amido, (lower alkyl) amide, or amino optionally mono- or di-substituted with C₁₋₆ alkyl;
- R₅** is H or C₁₋₆ alkyl; with the proviso that when **B** is a carboxyl derivative, an amide derivative or a thioamide derivative, **R₄** is not a cycloalkoxy;

Y is H or C₁₋₆ alkyl;

R³ is C₁₋₈ alkyl, C₃₋₇ cycloalkyl, or C₄₋₁₀ alkylcycloalkyl, all optionally substituted with hydroxy, C₁₋₆ alkoxy, C₁₋₆ thioalkyl, amido, (lower alkyl)amido, C₆ or C₁₀ aryl, or C₇₋₁₆ aralkyl;

R² is CH₂-**R₂₀**, NH-**R₂₀**, O-**R₂₀** or S-**R₂₀**, wherein **R₂₀** is pyridinyl, quinolyl, (lower alkyl)-pyridinyl or (lower alkyl)-quinolyl, each optionally mono-, di- or tri-substituted with **R₂₁**,

wherein each **R₂₁** is independently C₁₋₆ alkyl; C₁₋₆ alkoxy; lower thioalkyl; sulfonyl; NO₂; OH; SH; halo; haloalkyl; amino optionally mono- or di-substituted with C₁₋₆ alkyl, C₆ or C₁₀ aryl, C₇₋₁₄ aralkyl, Het or (lower alkyl)-Het; amido optionally mono-substituted with C₁₋₆ alkyl, C₆ or C₁₀ aryl, C₇₋₁₄ aralkyl, Het or (lower alkyl)-Het; carboxyl; carboxy(lower alkyl); C₆ or C₁₀ aryl, C₇₋₁₄ aralkyl or Het, said aryl, aralkyl or Het being optionally substituted with **R₂₂**;

wherein **R₂₂** is C₁₋₆ alkyl; C₃₋₇ cycloalkyl; C₁₋₆ alkoxy; amino optionally mono- or di-substituted with C₁₋₆ alkyl; sulfonyl; (lower alkyl)sulfonyl; NO₂; OH; SH; halo; haloalkyl; carboxyl; amide; (lower alkyl)amide; or Het optionally substituted with C₁₋₆ alkyl;

R¹ is H; C₁₋₆ alkyl, C₃₋₇ cycloalkyl, C₂₋₆ alkenyl, or C₂₋₆ alkynyl, all optionally substituted with halogen;

or a pharmaceutically acceptable salt or ester thereof;

wherein "Het" is defined as a five-membered saturated or unsaturated, including aromatic, heterocycle containing from one to four heteroatoms selected from nitrogen, oxygen and sulfur, wherein said heterocycle is optionally fused to a benzene ring.

5. (amended) A compound of formula I according to claim 1, wherein **B** is a carboxyl derivative of formula **R₄-O-C(O)-**, wherein **R₄** is

(i) C₁₋₁₀ alkyl optionally substituted with carboxyl, C₁₋₆ alkanoyl, hydroxy, C₁₋₆ alkoxy, amino optionally mono- or di-substituted with C₁₋₆ alkyl, amido or (lower alkyl)amide;

(ii) C₃₋₇ cycloalkyl, C₄₋₁₀ alkylcycloalkyl, all optionally substituted with carboxyl, (C₁₋₆ alkoxy)carbonyl, amino optionally mono- or di-substituted with C₁₋₆ alkyl, amido or (lower alkyl)amide;

- (iv) C₆ or C₁₀ aryl or C₇₋₁₆ aralkyl optionally substituted with C₁₋₆ alkyl, hydroxy, amido, (lower alkyl)amido, or amino optionally mono- or di-substituted with C₁₋₆ alkyl; or
- (v) Het or (lower alkyl)-Het, both optionally substituted with C₁₋₆ alkyl, hydroxy, amino optionally mono- or di-substituted with C₁₋₆ alkyl, amido or (lower alkyl)amido.

6. (amended) A compound of formula I according to claim 1, wherein **B** is an amide derivative of formula **R₄-N(R₅)-C(O)-** wherein **R₄** is

- B*
Amide
- (i) C₁₋₁₀ alkyl optionally substituted with carboxyl, C₁₋₆ alkanoyl, hydroxy, C₁₋₆ alkoxy, amido, (lower alkyl)amido, or amino optionally mono- or di-substituted with C₁₋₆ alkyl;
 - (ii) C₃₋₇ cycloalkyl or C₄₋₁₀ alkylcycloalkyl, all optionally substituted with carboxyl, (C₁₋₆ alkoxy)carbonyl, amido, (lower alkyl)amido, or amino optionally mono- or di-substituted with C₁₋₆ alkyl;
 - (iii) amino optionally mono- or di-substituted with C₁₋₃ alkyl;
 - (iv) C₆ or C₁₀ aryl or C₇₋₁₆ aralkyl, all optionally substituted with C₁₋₆ alkyl, hydroxy, amido, (lower alkyl)amide, or amino optionally substituted with C₁₋₆ alkyl; or
 - (v) Het or (lower alkyl)-Het, both optionally substituted with C₁₋₆ alkyl, hydroxy, amino optionally substituted with C₁₋₆ alkyl, amido or (lower alkyl)amide; and
- R₅** is H or methyl.

7. (amended) A compound of formula I according to claim 1, wherein **B** is a thioamide derivative of formula **R₄-NH-C(S)-**; wherein **R₄** is

- (i) C₁₋₁₀ alkyl optionally substituted with carboxyl, C₁₋₆ alkanoyl or C₁₋₆ alkoxy;
- (ii) C₃₋₇ cycloalkyl or C₄₋₁₀ alkylcycloalkyl, all optionally substituted with carboxyl, (C₁₋₆ alkoxy)carbonyl, amino or amido.

11. (amended) A compound of formula I according to claim 5, wherein **B** is a carboxyl derivative of formula **R₄-O-C(O)-**, wherein **R₄** is

- B*
- (i) C₁₋₁₀ alkyl optionally substituted with carboxyl, C₁₋₆ alkanoyl, hydroxy, C₁₋₆ alkoxy or amido, (lower alkyl)amide, amino optionally mono- or di-substituted with C₁₋₆ alkyl;

- (ii) C₃₋₇ cycloalkyl, C₄₋₁₀ alkylcycloalkyl, all optionally substituted with carboxyl, (C₁₋₆ alkoxy)carbonyl, amido, (lower alkyl)amide, amino optionally mono- or di-substituted with C₁₋₆ alkyl, or
- (iv) C₆ or C₁₀ aryl or C₇₋₁₆ aralkyl, all optionally substituted with C₁₋₆ alkyl, hydroxy, amino optionally substituted with C₁₋₆ alkyl; or
- (v) Het or (lower alkyl)-Het, both optionally substituted with C₁₋₆ alkyl, hydroxy, amido, or amino optionally mono-substituted with C₁₋₆ alkyl.

12. (amended) A compound of formula I according to claim 6, wherein **B** is an amide derivative of formula **R₄-N(R₅)-C(O)-** wherein **R₄** is

- (i) C₁₋₁₀ alkyl optionally substituted with carboxyl, C₁₋₆ alkanoyl, hydroxy, C₁₋₆ alkoxy, amido, (lower alkyl)amide, amino optionally mono- or di-substituted with C₁₋₆ alkyl;
- (ii) C₃₋₇ cycloalkyl or C₄₋₁₀ alkylcycloalkyl, all optionally substituted with carboxyl, (C₁₋₆ alkoxy)carbonyl, amido, (lower alkyl)amide, amino optionally mono- or di-substituted with C₁₋₆ alkyl;
- (iii) amino optionally mono- or di-substituted with C₁₋₃ alkyl, or
- (iv) C₆ or C₁₀ aryl or C₇₋₁₆ aralkyl, all optionally substituted with C₁₋₆ alkyl, hydroxy, amino or amido optionally substituted with C₁₋₆ alkyl; or
- (v) Het optionally substituted with C₁₋₆ alkyl, hydroxy, amino or amido,

and **R₅** is H.

13. (amended) A compound of formula I according to claim 7, wherein **B** is a thioamide derivative of formula **R₄-NH-C(S)-**; wherein **R₄** is (i) C₁₋₁₀ alkyl; or (ii) C₃₋₇ cycloalkyl.

14. (amended) A compound of formula I according to claim 12, wherein **B** is an amide derivative of formula **R₄-NH-C(O)-** wherein **R₄** is

- (i) C₁₋₁₀ alkyl optionally substituted with carboxyl, C₁₋₆ alkanoyl, hydroxy, C₁₋₆ alkoxy amido, (lower alkyl)amide, amino optionally mono- or di-substituted with C₁₋₆ alkyl;
- (ii) C₃₋₇ cycloalkyl or C₄₋₁₀ alkylcycloalkyl, all optionally substituted with carboxyl, (C₁₋₆

alkoxy)carbonyl, amido, (lower alkyl)amide, amino optionally mono- or di-substituted with C₁₋₆ alkyl;

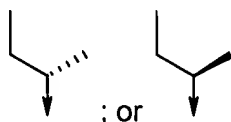
(iv) C₆ or C₁₀ aryl or C₇₋₁₆ aralkyl optionally substituted with C₁₋₆ alkyl, hydroxy, amino or amido.

15. (amended) A compound of formula I according to claim 1, wherein **B** is



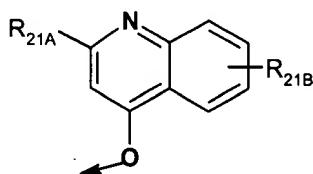
19. (amended) A compound of formula I according to claim 18, wherein **R**³ is the side chain of Tbg, Ile, Val, Chg or:

T1591



28. (twice amended) A compound of formula I according to claim 26, wherein **R**² is :

T1592



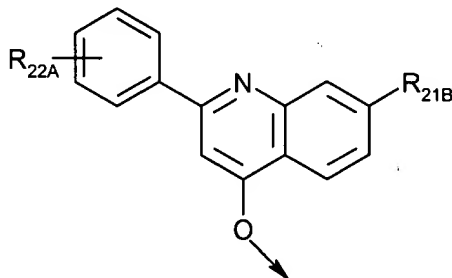
wherein **R**_{21A} is C₁₋₆ alkyl; C₁₋₆ alkoxy; lower thioalkyl; halo; amino optionally mono-substituted with C₁₋₆ alkyl; or C₆, C₁₀ aryl, C₇₋₁₆ aralkyl, or Het, said aryl, aralkyl or Het optionally substituted with **R**₂₂ wherein **R**₂₂ is C₁₋₆ alkyl, C₁₋₆ alkoxy, amido, (lower alkyl)amide, amino optionally mono- or di-substituted with C₁₋₆ alkyl, or Het; and

R_{21B} is C₁₋₆ alkyl, C₁₋₆ alkoxy, amino, di(lower alkyl)amino, (lower alkyl)amide, NO₂, OH, halo, trifluoromethyl, or carboxyl.

30. (amended) A compound of formula I according to claim 29, wherein **R**_{21A} is C₆, C₁₀ aryl or Het,

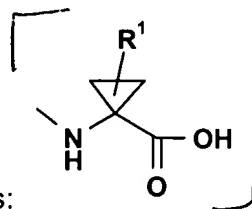
all optionally substituted with R_{22} as defined in claim 29.

32. (amended) A compound of formula I according to claim 21, wherein R^2 is:



wherein R_{22A} is C_{1-6} alkyl; C_{1-6} alkoxy; or halo; and R_{21B} is C_{1-6} alkyl, C_{1-6} alkoxy, amino, di(lower alkyl)amino, (lower alkyl)amide, NO_2 , OH, halo, trifluoromethyl, or carboxyl.

35. (twice amended) A compound of formula I according to claim 1, wherein R^1 is H, C_{1-3} alkyl, C_{3-5} cycloalkyl, or C_{2-4} alkenyl, all optionally substituted with halo.



36. (amended) A compound of formula I according to claim 35, wherein $P1$ is: and R^1 is ethyl, vinyl, cyclopropyl, 1 or 2-bromoethyl or 1 or 2-bromovinyl.

45. (twice amended) A compound of formula I according to claim 1, wherein B is a C_6 or C_{10} aryl or C_{7-16} aralkyl, all optionally substituted with C_{1-6} alkyl, C_{1-6} alkoxy, C_{1-6} alkanoyl, hydroxy, hydroxyalkyl, halo, haloalkyl, nitro, cyano, cyanoalkyl, amido, (lower alkyl)amido, or amino optionally substituted with C_{1-6} alkyl; or Het or (lower alkyl)-Het, all optionally substituted with C_{1-6} alkyl, C_{1-6} alkoxy, C_{1-6} alkanoyl, hydroxy, hydroxyalkyl, halo, haloalkyl, nitro, cyano, cyanoalkyl, amido, (lower alkyl)amido, or amino optionally substituted with C_{1-6} alkyl; or B is R_4-SO_2 wherein R_4 is preferably amido; (lower alkyl)amide; C_6 or C_{10} aryl, C_{7-14} aralkyl or

Het, all optionally substituted with C₁₋₆ alkyl, or

B is an acyl derivative of formula **R₄-C(O)-** wherein **R₄** is

- (i) C₁₋₁₀ alkyl optionally substituted with carboxyl, hydroxy or C₁₋₆ alkoxy, amido, (lower alkyl)amide, or amino optionally mono- or di-substituted with C₁₋₆ alkyl;
- (ii) C₃₋₇ cycloalkyl or C₄₋₁₀ alkylcycloalkyl, both optionally substituted with hydroxy, carboxyl, (C₁₋₆ alkoxy)carbonyl, amido, (lower alkyl)amide, or amino optionally mono- or di-substituted with C₁₋₆ alkyl;
- (iv) C₆ or C₁₀ aryl or C₇₋₁₆ aralkyl, all optionally substituted with C₁₋₆ alkyl, hydroxy, amido, (lower alkyl)amide, or amino optionally substituted with C₁₋₆ alkyl;
- (v) Het or (lower alkyl)-Het, both optionally substituted with C₁₋₆ alkyl, hydroxy, amino optionally substituted with C₁₋₆ alkyl, amido, (lower alkyl)amide, or amino optionally substituted with C₁₋₆ alkyl, or

B is a carboxyl derivative of formula **R₄-O-C(O)-**, wherein **R₄** is

- (i) C₁₋₁₀ alkyl optionally substituted with carboxyl, C₁₋₆ alkanoyl, hydroxy, C₁₋₆ alkoxy, amino optionally mono- or di-substituted with C₁₋₆ alkyl, amido or (lower alkyl)amide;
- (ii) C₃₋₇ cycloalkyl, C₄₋₁₀ alkylcycloalkyl, all optionally substituted with carboxyl, (C₁₋₆ alkoxy)carbonyl, amino optionally mono- or di-substituted with C₁₋₆ alkyl, amido or (lower alkyl)amide;
- (iv) C₆ or C₁₀ aryl or C₇₋₁₆ aralkyl optionally substituted with C₁₋₆ alkyl, hydroxy, amido, (lower alkyl)amido, or amino optionally mono- or di-substituted with C₁₋₆ alkyl; or
- (v) Het or (lower alkyl)-Het, both optionally substituted with C₁₋₆ alkyl, hydroxy, amino optionally mono- or di-substituted with C₁₋₆ alkyl, amido or (lower alkyl)amido, or

B is an amide derivative of formula **R₄-N(R₅)-C(O)-** wherein **R₄** is

- (i) C₁₋₁₀ alkyl optionally substituted with carboxyl, C₁₋₆ alkanoyl, hydroxy, C₁₋₆ alkoxy, amido, (lower alkyl)amido, or amino optionally mono- or di-substituted with C₁₋₆ alkyl;
- (ii) C₃₋₇ cycloalkyl or C₄₋₁₀ alkylcycloalkyl, all optionally substituted with carboxyl, (C₁₋₆ alkoxy)carbonyl, amido, (lower alkyl)amido, or amino optionally mono- or di-substituted with C₁₋₆ alkyl;
- (iii) amino optionally mono- or di-substituted with C₁₋₃ alkyl;

(iv) C₆ or C₁₀ aryl or C₇₋₁₆ aralkyl, all optionally substituted with C₁₋₆ alkyl, hydroxy, amido, (lower alkyl)amide, or amino optionally substituted with C₁₋₆ alkyl; or

(v) Het or (lower alkyl)-Het, both optionally substituted with C₁₋₆ alkyl, hydroxy, amino optionally substituted with C₁₋₆ alkyl, amido or (lower alkyl)amide; and

R₅ is H or methyl, or

B is thioamide derivative of formula R₄-NH-C(S)-; wherein R₄ is

(i) C₁₋₁₀ alkyl optionally substituted with carboxyl, C₁₋₆ alkanoyl or C₁₋₆ alkoxy;

(ii) C₃₋₇ cycloalkyl or C₄₋₁₀ alkylcycloalkyl, all optionally substituted with carboxyl, (C₁₋₆ alkoxy)carbonyl, amino or amido;

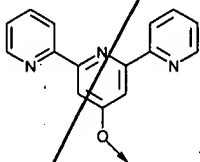
Y is H or methyl;

R³ is C₁₋₈ alkyl, C₃₋₇ cycloalkyl, or C₄₋₁₀ alkylcycloalkyl, all optionally substituted with hydroxy, C₁₋₆ alkoxy, C₁₋₆ thioalkyl, acetamido, C₆ or C₁₀ aryl, or C₇₋₁₆ aralkyl;

R² is S-R₂₀ or O-R₂₀ wherein R₂₀ is pyridinyl, quinolyl, -CH₂-pyridinyl or -CH₂-quinolyl, all optionally mono-, di- or tri-substituted with R₂₁, wherein

R₂₁ is C₁₋₆ alkyl; C₁₋₆ alkoxy; lower thioalkyl; amino or amido optionally mono- or di-substituted with C₁₋₆ alkyl, C₆ or C₁₀ aryl, C₇₋₁₆ aralkyl, Het or (lower alkyl)-Het; NO₂; OH; halo; trifluoromethyl; carboxyl; C₆ or C₁₀ aryl, C₇₋₁₆ aralkyl, or Het, said aryl, aralkyl or Het being optionally substituted with R₂₂, wherein

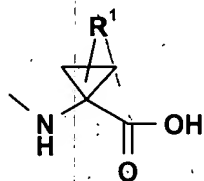
R₂₂ is C₁₋₆ alkyl; C₃₋₇ cycloalkyl; C₁₋₆ alkoxy; amino; mono- or di-(lower alkyl)amino; (lower alkyl)amide; sulfonylalkyl; NO₂; OH; halo; trifluoromethyl; carboxyl or Het; or



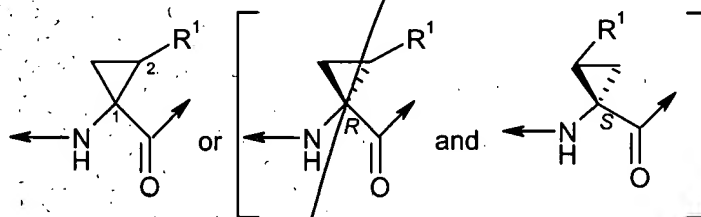
R² is

or R² is quinolinoxy unsubstituted, mono- or di-substituted with R₂₁ as defined above; and

P1 is:



, wherein R^1 is H, C_{1-3} alkyl, C_{3-5} cycloalkyl, or C_{2-4} alkenyl optionally substituted with halo, and said R^1 at carbon 2 is orientated *syn* to the carbonyl at position 1, represented by the radical:



or a pharmaceutically acceptable salt or ester thereof.

46. (twice amended) A compound of formula I according to claim 45, wherein **B** is a C_6 or C_{10} aryl optionally substituted with C_{1-6} alkyl, C_{1-6} alkoxy, C_{1-6} alkanoyl, hydroxy, hydroxyalkyl, halo, haloalkyl, nitro, cyano, cyanoalkyl, amido, (lower alkyl)amide, or amino optionally mono- or di-substituted with C_{1-6} alkyl; or **B** is Het optionally substituted with C_{1-6} alkyl, C_{1-6} alkoxy, C_{1-6} alkanoyl, hydroxy, halo, amido, (lower alkyl)amide, or amino optionally mono- or di-substituted with C_{1-6} alkyl; or

B is R_4-SO_2 wherein R_4 is C_6 or C_{10} aryl, a C_{7-14} aralkyl or Het all optionally substituted with C_{1-6} alkyl; amido, (lower alkyl)amide; **B** is an acyl derivative of formula $R_4-C(O)-$ wherein R_4 is

- (i) C_{1-10} alkyl optionally substituted with carboxyl, hydroxy or C_{1-6} alkoxy; or
- (ii) C_{3-7} cycloalkyl or C_{4-10} alkylcycloalkyl, both optionally substituted with hydroxy, carboxyl, (C_{1-6} alkoxy)carbonyl; or
- (iv) C_6 or C_{10} aryl or C_{7-16} aralkyl, all optionally substituted with C_{1-6} alkyl, hydroxy; or
- (v) Het optionally substituted with C_{1-6} alkyl, hydroxy, amido or amino;

or **B** is a carboxyl derivative of formula $R_4-O-C(O)-$, wherein R_4 is

- (i) C_{1-10} alkyl optionally substituted with carboxyl, C_{1-6} alkanoyl, hydroxy, C_{1-6} alkoxy or

B

amido, (lower alkyl)amide, amino optionally mono- or di-substituted with C₁₋₆ alkyl;
(ii) C₃₋₇ cycloalkyl, C₄₋₁₀ alkylcycloalkyl, all optionally substituted with carboxyl, (C₁₋₆ alkoxy)carbonyl, amido, (lower alkyl)amide, amino optionally mono- or di-substituted with C₁₋₆ alkyl; or
(iv) C₆ or C₁₀ aryl or C₇₋₁₆ aralkyl, all optionally substituted with C₁₋₆ alkyl, hydroxy, amino optionally substituted with C₁₋₆ alkyl; or
(v) Het or (lower alkyl)-Het, both optionally substituted with C₁₋₆ alkyl, hydroxy, amido, or amino optionally mono-substituted with C₁₋₆ alkyl;

or **B** is an amide derivative of formula **R₄-N(R₅)-C(O)-** wherein **R₄** is

(i) C₁₋₁₀ alkyl optionally substituted with carboxyl, C₁₋₆ alkanoyl, hydroxy, C₁₋₆ alkoxy, amido, (lower alkyl)amide, amino optionally mono- or di-substituted with C₁₋₆ alkyl;
(ii) C₃₋₇ cycloalkyl or C₄₋₁₀ alkylcycloalkyl, all optionally substituted with carboxyl, (C₁₋₆ alkoxy)carbonyl, amido, (lower alkyl)amide, amino optionally mono- or di-substituted with C₁₋₆ alkyl; and **R₅** is H or methyl; or

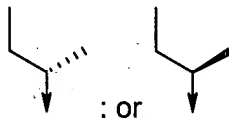
R₄ is (iii) amino optionally mono- or di-substituted with C₁₋₃ alkyl; or
(iv) C₆ or C₁₀ aryl or C₇₋₁₆ aralkyl, all optionally substituted with C₁₋₆ alkyl, hydroxy, amino or amido optionally substituted with C₁₋₆ alkyl; or
(v) Het optionally substituted with C₁₋₆ alkyl, hydroxy, amino or amido; or

B is a thioamide derivative of formula **R₄-NH-C(S)-**; wherein **R₄** is:

(i) C₁₋₁₀ alkyl; or (ii) C₃₋₇ cycloalkyl; or

Y is H;

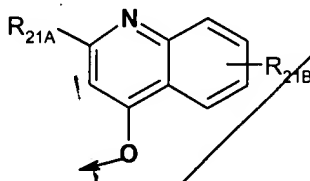
R³ is the side chain of Tpg, Ile, Val, Chg or:



R² is quinolinoxy unsubstituted, mono- or di-substituted with **R₂₁** as defined above, or

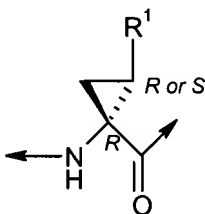
R² is:

B



wherein R_{21A} is C_{1-6} alkyl; C_{1-6} alkoxy; C_6, C_{10} aryl or Het; lower thioalkyl; halo; amino optionally mono-substituted with C_{1-6} alkyl; or C_6, C_{10} aryl, C_{7-16} aralkyl or Het, optionally substituted with R_{22} wherein R_{22} is C_{1-6} alkyl, C_{1-6} alkoxy, amido, (lower alkyl)amide, amino optionally mono- or di-substituted with C_{1-6} alkyl, or Het;

P1 is:



; and

R^1 is ethyl, vinyl, cyclopropyl, 1 or 2-bromoethyl or 1 or 2-bromovinyl.

(amended) A compound of formula I according to claim 46, wherein

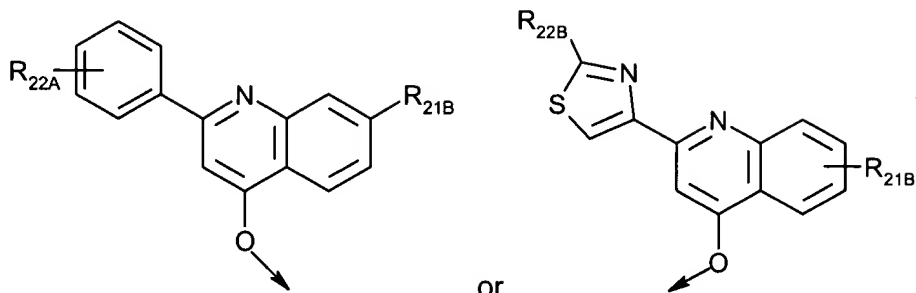
B is an amide derivative of formula $R_4-NH-C(O)-$ wherein R_4 is

- i) C_{1-10} alkyl optionally substituted with carboxyl, C_{1-6} alkanoyl, hydroxy, C_{1-6} alkoxy amido, (lower alkyl)amide, amino optionally mono- or di-substituted with C_{1-6} alkyl;
- (ii) C_{3-7} cycloalkyl or C_{4-10} alkylcycloalkyl, all optionally substituted with carboxyl, (C_{1-6} alkoxy)carbonyl, amido, (lower alkyl)amide, amino optionally mono- or di-substituted with C_{1-6} alkyl;
- (iv) C_6 or C_{10} aryl or C_{7-16} aralkyl optionally substituted with C_{1-6} alkyl, hydroxy, amino or amido;

R^3 is the side chain of Tbg, Chg or Val;

R^2 is:

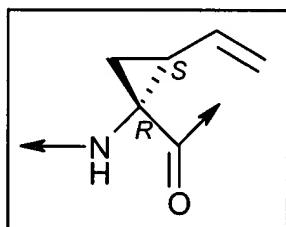
T1620



, or

wherein R_{22A} is C_{1-6} alkyl; C_{1-6} alkoxy; or halo; R_{22B} is C_{1-6} alkyl, amino optionally mono-substituted with C_{1-6} alkyl, amido, or (lower alkyl)amide; and R_{21B} is C_{1-6} alkyl, C_{1-6} alkoxy, amino, di(lower alkyl)amino, (lower alkyl)amide, NO_2 , OH, halo, trifluoromethyl, or carboxyl; and $P1$ is:

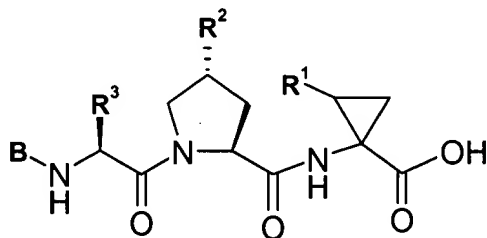
T1621



49
50

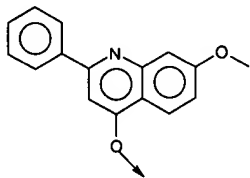
(twice amended) A compound according to claim 45 represented by the formula:

44

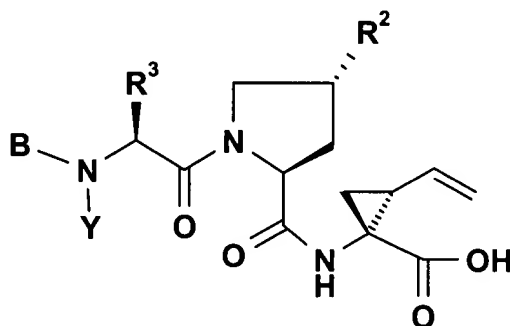


wherein B , R^3 , R^2 , R^1 are as defined below:

BIO
CMT
T1630

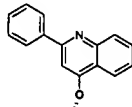
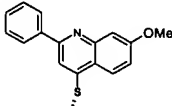
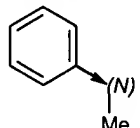
Table 2 Cpd #	B	R ³	R ²	R ¹ anti to carboxy
203	Boc	<i>t</i> -Bu		vinyl 1 <i>R</i> , 2 <i>R</i>

65. A compound according to claim 45 represented by the formula:



wherein B-N(Y)-, R³, and R² are as defined below:

T1632

Table 10 Cpd #	B-N(Y)-	R ³	R ²
1001	Ph-N(Me)-	<i>i</i> -Pr	
1002	Boc-NH-	<i>t</i> -Bu	
and 1003		<i>i</i> -Pr	